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FILE COVERS 1967 - 2 Jul 1999 VOL 131 ISS 1 FILE LAST UPDATED: 2 Jul 1999 (19990702/ED)

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L15 3 S L14 AND PATENT/DT

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             45 S L39, L40, L41, L42
L43
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             29 S L55 AND L52
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=> d bib abs hitstr tot 156
L56 ANSWER 1 OF 29 HCAPLUS COPYRIGHT 1999 ACS
```

AN 1998:398250 HCAPLUS

DN 129:67975

TI A process for preparing epirubicin or acid addition salts thereof from daunorubicin

IN Van Der Rijst, Marcel; Scheeren, Johan Wilhelm; De Vos, Dick

PA Pharmachemie B.V., Neth.

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN. CNT 1

FAN.	FAN. CNT I																	
	PAT	PENT	NO.		KI	ND	DATE			A	PLIC	CATI	ои ис	ο.	DATE			
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			ΙE,	SI,	LT,	LV,	FI,	RO										
	JP	1017	5991		A:	2	1998	0630		JE	97-	-494	6		1997	0114	<	
	US	5874	550		Α		1999	0223		US	97-	-985	358		1997	1204	<	
	CA	2224	764		A	Ą	1998	0616		CF	A 97-	-222	4764		1997	1215	<	
PRAI	EΡ	96-2	0355	4	19	9612	16	<		•								

OS MARPAT 129:67975

AB This invention relates to a novel method for the chem. prepn. of epirubicin or acid addn. salts thereof, in particular the HCl salt, from daunorubicin. First daunorubicin is methanolized to obtain daunomycinone and daunosamine Me ether in very high yields. Daunomycinone is converted to 14-acetoxy daunomycinone by bromination and acetoxylation, while daunosamine Me ether is converted into an N-protected 4'-epi daunosamine. The choice of the protecting group of the amino group of the daunosamine Me ether is important because it has to be removed after coupling the sugar with the aglycon without causing side reactions of the aglycon. Two protecting groups were selected: the trifluoroacetyl group and the allyloxycarbonyl group. After coupling the 14-acetoxy daunomycinone with the N-protected 4'-epi daunosamine, the obtained compd. was converted to epirubicin; for the latter conversion two routes were developed, depending on the amino-protecting group.

IT 131528-45-5P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of epirubicin from daunorubicin using trifluoroacetyl and allyloxycarbonyl as protecting groups)

RN 131528-45-5 HCAPLUS

CN L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

HCl

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L56 ANSWER 2 OF 29 HCAPLUS COPYRIGHT 1999 ACS
     1997:542342 HCAPLUS
ΑN
    127:210340
DN
    Methods of inhibiting leaderless protein export using cardiac
TI
     glycosides or aglycons
TN
     Florkiewicz, Robert Z.
    Scripps Research Institute, USA
PΑ
     PCT Int. Appl., 60 pp.
SO
     CODEN: PIXXD2
DT
     Patent
    English
LΑ
FAN.CNT 1
                                        APPLICATION NO. DATE
     PATENT NO.
                    KIND DATE
                    ____
    WO 9728808
ΡI
                     A1 19970814
                                         WO 97-US2237
                                                          19970212 <--
        W: AL, AM, AT, AU, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK,
            EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
            LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO,
            RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, UZ, VN, AM, AZ,
            BY, KG, KZ, MD, RU, TJ, TM
        RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
            IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML,
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                           19990406
                                          US 96-599895
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                           19970814
                                          CA 97-2242245
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                           19970828
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    EP 828497
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            IE, FI
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                           19990112
                                                           19970212 <--
     JP 11500454
PRAI US 96-599895
                     19960212 <--
    WO 97-US2237
                     19970212 <--
    This invention provides methods of inhibiting the export of a leaderless
AB
    protein from a cell by contacting the cell with a cardiac
    glycoside or aglycon deriv. Leaderless proteins include
     FGF-1, FGF-2, IL-1.alpha., IL-1.beta., and factor XIIIa.
                                                              For example,
    ouabain and digoxin inhibited the export of fibroblast growth factor-2 (a
    leaderless protein) but not human chorionic gonadotrophin .alpha. in
     transiently transfected COS-1 cells. Ouabain inhibited 50% of export at
     .apprx.0.1 .mu.M and digoxin at .apprx.5 .mu.M; essentially all of 30
    different cardiac glycosides and aglycon derivs.
     substantially inhibited export of FGF-2 from transfected COS cells at 50
     .mu.M. In stably transformed COS cells, 10 .mu.M ouabain completely
    prevented the export of FGF-2 to the cell surface compared to no ouabain.
     FGF-2 export in normal chondrocytes is 50% inhibited at 10-10M. These
    methods are useful in treatment of conditions, including tumors
     and diabetes.
IT
    194660-81-6
     RL: BAC (Biological activity or effector, except adverse); THU
     (Therapeutic use); BIOL (Biological study); USES (Uses)
        (inhibiting leaderless protein export using cardiac glycosides
        or aglycons)
RN
     194660-81-6 HCAPLUS
     .alpha.-L-arabino-Hexopyranose, 4-amino-2, 4, 6-trideoxy-3-0-methyl- (9CI)
CN
     (CA INDEX NAME)
```

```
ANSWER 3 OF 29 HCAPLUS COPYRIGHT 1999 ACS
L56
ΑN
     1997:94093 HCAPLUS
DN
     126:104365
TI
     Preparation of substituted liposaccharide analogs useful in the
     treatment and prevention of endotoxemia
     Christ, William J.; Rossignol, Daniel P.; Kobayashi, Seiichi; Kawata,
IN
     Tsutomu
     Eisai Co., Ltd., Japan; Christ, William J.; Rossignol, Daniel P.;
PΑ
     Kobayashi, Seiichi; Kawata, Tsutomu
SO
     PCT Int. Appl., 94 pp.
     CODEN: PIXXD2
DT
     Patent
LA
     English
FAN.CNT 1
     PATENT NO.
                      KIND
                           DATE
                                           APPLICATION NO.
                                                            DATE
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                                           _____
     ______
                            19961212
                                           WO 96-US9578
                                                            19960605 <--
PΙ
    WO 9639411
                      A1
            AL, AM, AT, AU, AZ, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE,
             ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LK, LR, LS,
             LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD,
             SE, SG
         RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR,
             IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA
                            19971028
                                           US 95-461677
                                                            19950605 <--
     US 5681824
                       Α
    US 5750664
                       Α
                            19980512
                                           US 95-461675
                                                            19950605 <--
     CA 2223140
                            19961212
                                           CA 96-2223140
                                                            19960605 <--
                       AΑ
    AU 9663802
                       A1
                            19961224
                                           AU 96-63802
                                                            19960605 <--
                       A1
                            19980722.
                                           EP 96-923234
                                                            19960605 <--
     EP 853627
         R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT, IE, FI
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                            19980902
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                                                            19960605 <--
     JP 11506793
                       Т2
                            19990615
                                           JP 96-501868
                                                            19960605 <--
                                           NO 97-5644
                                                            19971204 <--
     NO 9705644
                       A
                            19980204
PRAI US 95-461675
                      19950605
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19960605

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OS GI WO 96-US9578

MARPAT 126:104365

.

AB Novel substituted liposaccharides in the prophylactic and affirmative treatment of endotoxemia including sepsis, septicemia, and various forms of septic shock and methods of using these agents are provided. Also provided are method of prepg. these agents and intermediates useful therein. Thus, total prepn. of amidodeoxy oligosaccharide I is reported. I inhibited tumor -necrosis factor prodn. in vivo in mice (ED50 = 5 and 10.6 .mu.g/ mouse).

IT 185955-22-0P

RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. of substituted **liposaccharide** analogs useful in the treatment and prevention of endotoxemia)

RN 185955-22-0 HCAPLUS

CN .alpha.-D-Glucopyranoside, (1Z)-1-propenyl 2-amino-3-0-decyl-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Double bond geometry as shown.

ANSWER 4 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1996:186051 HCAPLUS

DN 124:233021

TI Preparation of 2,7-dideoxy-7-fluoro-2, 3-didehydrosialic acid and intermediate for synthesis thereof

IN Iida, Takao; Ohira, Yutaka

Daikin Industries Ltd., Japan PA

SO PCT Int. Appl., 40 pp.

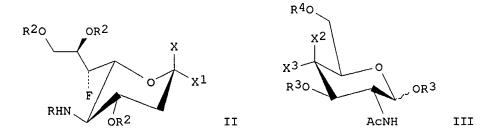
CODEN: PIXXD2

DTPatent

Japanese LΑ

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	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI		A1 19951207	WO 95-JP820	19950426 <
	W: AU, CN, RW: AT, BE,	CH, DE, DK, ES, FR,	GB, GR, IE, IT, LU	, MC, NL, PT, SE
	AU 9523520	A1 19951221	AU 95-23520	19950426 <
	AU 687197	B2 19980219		
	EP 711766	A1 19960515	EP 95-917464	19950426 <
	R: CH, DE,	FR, GB, LI, SE		
	CN 1128994	A 19960814	CN 95-190475	19950426 <
	US 5627290	A 19970506	US 96-586908	19960126 <
PRAI	JP 94-115014	19940527 <		
	WO 95-JP820	19950426 <		
OS GI	CASREACT 124:23	3021; MARPAT 124:2330	21	

$$R^{2O}$$
  $OR^{2}$   $CO_{2}R^{1}$   $RHN$   $OR^{2}$   $I$ 



The title compds. (I; R = aliph. acyl; R1 = H, lower alkyl; R2 = H, aliph. AΒ or arom. acyl; provided that when R1 = H, R2 = H or when R1 = lower alkyl, R2 = aliph. or arom. acyl) and intermediates therefor (II; X = halo; X1 = CO2R1; wherein R1 = lower alkyl; R, R2 = same as above) and II (X = CO2R1; X1 = thioacyl, thioalkyl, thioaryl; R, R1, R2, = same as above), each

being useful for developing practical medicines such as antiviral agents and preventives for viral diseases, and also as anticancer drugs and immunoregulators, are prepd. via condensation of N-acetyl-4-deoxy-4fluoro-D-glucosamine (III; R3 = R4 = X2 = H, X3 = F) with sodium pyruvate in the presence of N-acetylneuraminic acid aldolase to N-acetyl-7-deoxy-7-fluoroneuraminic acid II (X = OH, X1 = CO2H, R = Ac, R2 = H). Thus, tritylation of the D-galactosamine deriv. .alpha.-III (R3 = Ac, R4 = H, X2 = OH, X3 = H) by trityl chloride in pyridine to the 6-O-trityl-D-galactosamine .alpha.-III (R3 = Ac, R4 = trityl, X2 = OH, X3 = H) (79.6%) followed by fluorination with DAST at -28.degree. to -17.degree. for 30 min and at room temp. for 15 min in CH2Cl2 gave 65.4% 2,4-dideoxy-4-fluoro-D-glucosamine .alpha.-III (R3 = Ac, R4 = trityl, X2 = H, X3 = F), which was heated in 90% aq. AcOH at 50.degree. for 3 h to give 91.5% .alpha.-III (R3 = Ac, R4 = X2 = H, X3 = F) and heated in 3 N HCl at 90.degree. for 3 h to give 68.4% 4-deoxy-4-fluoro-Dglucosamine hydrochloride. Acetylation of the latter compd. with Ac20 in the presence of AcONa in MeOH gave N-acetyl-4-deoxy-4-fluoro-Dglucosamine III (R3 = R4 = X2 = H, X3 = F), which was stirred with sodium pyruvate and NaN3 in the presence of N-acetylneuraminic acid aldolase in H2O (adjusted to pH 10.59 with 2 N NaOH) at 20.degree. for 4 days to give, after ion-exchange chromatog., 19.2% N-acetyl-7-deoxy-7fluoroneuraminic acid II (X = OH, X1 = CO2H, R = Ac, R2 = H). Esterification of the latter compd. with MeOH in the presence of Dowex 50X8 (H-form) to the Me ester II (X = OH, X1 = CO2Me, R = Ac, R2 = H) followed by chlorination with AcCl at 36.degree. for 16 h gave the glycosyl chloride 98.9% II (X = Cl, X1 = CO2Me, R = R2 = Ac), which was stirred with DBU in benzene for 2 h to give the acetylated title compd. I (R = R2 = Ac, R1 = Me). The latter acetate was stirred with NaOMe in MeOH at room temp. for 1.5 h, treated with 1 N aq. NaOH, stirred for 1 h, and treated with Dowex 50W-X8 to give the title compd. I (R = R2 = R1 = H).

IT 174771-94-9P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. of dideoxyfluorodidehydrosialic acid via condensation of acetyldeoxyfluoroglucosamine with sodium pyruvate in presence of N-acetylneuraminic acid aldolase)

RN 174771-94-9 HCAPLUS

CN .alpha.-D-Glucopyranose, 2-amino-2,4-dideoxy-4-fluoro-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

) HCl

AN 1995:261277 HCAPLUS

DN 122:50762

TI Chromogenic compounds and methods of using same

IN Flowers, Daniel G.; Sternfeld, Marvin

PA Research Organics, Inc., USA

so U.S., 10 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 1

r Au	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI GI	US 5364767	Α	19941115	US 93-16511	19930211 <		

$$\begin{array}{c|c} X & & \\ \hline & & \\ C1 & & \\ & & \\ Y & & \\ & & \\ Y & & \\ & &$$

AB The present invention relates to chromogenic compds. which are represented by the general formula I: wherein R1 is a sugar group, ester group, hydrocarbyl group, phosphate group, sulfate group or a salt thereof, with the proviso that R1 is other than .beta.-D-glucuronic acid or .beta.-D-galactopyranoside, R2 is H or hydrocarbyl group contg. 1 to about 5 carbon atoms, X is Cl or H, and Y is Cl or H. The present invention further relates to a method for quant. identifying and differentiating a first biol. material having enzyme specificity for a first chromogenic compd. as represented by formula I and a second biol. material having enzyme specificity for a second chromogenic compd. The compds. can be used to det. coliform bacteria.

IT 14196-86-2 14257-69-3

RL: ARG (Analytical reagent use); ANST (Analytical study); USES (Uses) (chromogenic compds. and methods for their use)

RN 14196-86-2 HCAPLUS

CN .beta.-D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 14257-69-3 HCAPLUS

CN .beta.-D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

L56 ANSWER 6 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1994:617522 HCAPLUS

DN 121:217522

TI Solid photographic color developing composition for silver halide color photographic light-sensitive material

IN Ueda, Yutaka

PA Konica Corp., Japan

SO Eur. Pat. Appl., 51 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

E MIA . A	CIVI I						
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI	EP 589624	A1	19940330	EP 93-307316	19930916 <		
	R: DE, FR,	GB, NL					
	JP 06102627	A2	19940415	JP 92-253076	19920922 <		
	US 5336588	Α	19940809	US 93-119029	19930909 <		
PRAI	JP 92-253076	19920	922 <	,			

AB A solid photog. color developing compn. is described comprising a photog. color developing agent and .gtoreq.1 of monosaccharides. Th material has improved storage stability.

IT 6490-70-6, .alpha.-D-Glucosamine 14196-84-0,

.alpha.-D-Galactosamine 14307-02-9, D-Mannosamine

RL: USES (Uses)

(photog. developer preservative)

RN 6490-70-6 HCAPLUS

CN .alpha.-D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

# Absolute stereochemistry.

RN 14196-84-0 HCAPLUS

CN .alpha.-D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 14307-02-9 HCAPLUS

CN D-Mannose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 7 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1993:494705 HCAPLUS

DN 119:94705

TI Novel cyclohexane and tetrahydropyran derivatives and antifungal compositions containing these derivatives

IN Aoki, Yuhko; Kotaki, Hiromichi; Masubuchi, Kazunao; Okuda, Toru; Shimma, Nobuo; Tsukuda, Takuo; Umeda, Isao

PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 62 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

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	CN	1069976		А		1993	0317		CN	92-10	8659		1992	0723	<	
	BR	9202848		Α		1993	0330		BR	92-28	48		1992	0723	<	
		05271160		A2		1993			JP	92-21	6602		1992	0723	<	
		2650651		B2		1997					· <del></del>					
		171156		B1		1997			ÞΤ.	92-29	5382		1992	0723	<	

PRAI	JP 09118674 AU 9480404 US 5719291 EP 91-112370 EP 91-113621 EP 92-110497 US 92-911853 JP 92-216602	A1 199	< <	AU	96-231532 94-80404 95-433007	19920723 19941213 19950503	<
os GI	MARPAT 119:94705						

I

Title compds. I [X = 0, CH2; R1 = Y-alkyl, Y-aralkyl, Y-aryl (Y = 0, CONH, NHCO, (CH:CH)n and n = 0-3, C.tplbond.C, CH2O, CH2S); R2 = H, OH; R3 is a group capable of coordinating with heme; R4, R5 = H, alkyl, alkoxy, alkylthio; R4CR5 = 5- or 6-membered acetal ring; R6 = H, alkyl, alkoxy, alkylthio, (un)substituted amino; R7 = H, OH, alkyl, alkoxy, alkylthio; R6CR7 = 5- or 6-membered acetal ring; R2 with R4 may form a single bond] were prepd. as fungicides. E.g., a mixt. of (2S, 3R, 4S, 5S)-4-methoxy-5-methyl-2-[(Z)-1-nonenyl]tetrahydro-2H-pyran-3-ol, N-(tert-butoxycarbonyl)glycine, 4-(dimethylamino)pyridine, and dicyclohexylcarbodiimide in CH2Cl2 was stirred at room temp. for 3 h, and the product treated with CF3CO2H to give (2S, 3R, 4S, 5S)-4-methoxy-5-methyl-2-[(Z)-1-nonenyl]tetrahydro-2H-pyran-3-yl glycinate trifluoroacetic acid salt (I). I showed a MIC value of 1.56 .mu.g/mL against Cryptococcus neoformans.

### IT 148888-86-2P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, with glycine deriv.)

RN 148888-86-2 HCAPLUS

CN 2H-Pyran-3-amine, tetrahydro-4-methoxy-5-methyl-2-(1-nonenyl)-, [2S-[2.alpha.(E),3.beta.,4.alpha.,5.beta.]]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

L56 ANSWER 8 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1993:97246 HCAPLUS

DN 118:97246

TI Biomodulators as universal imaging agents and for drug

دو. ده

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delivery
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IN Born, Jerry L.; Eshima, Dennis; Mann, Paul L.; Matwiyoff, Nicholas A.; Kroh, Frank O.

PA University of New Mexico, USA

SO PCT Int. Appl., 64 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 1

		_														
	PA	CENT :	NO.		KIN	1D	DATE			AF	PLI	CATIO	ои ис	).	DATE	
PI	WO	9219	264		A1	L	1992	1112		WC	92	-US36	675		19920501	<
		W:	CA,	JP												
		RW:	AT,	BE,	CH,	DE,	DK,	ES,	FR,	GB,	GR,	IT,	LU,	MC,	NL, SE	
	US	5240	693		Α		1993	0831		US	91	-6941	157		19910501	<
	US	5401	489		Α		1995	0328		US	91	-6943	325		19910501	<
	US	5906	807		Α		1999	0525		US	95	-4050	017		19950316	<
PRAI	US	91-6	9415	7	199	105	01 .	<								
	US	91-6	94325	5	199	€105	01	<								

OS MARPAT 118:97246

AB Biomodulators, optionally linked to imaging-active moieties, can be administered to a host to enhance images thereof (e.g. NMR, x-ray, or radioimages), preferably by increasing aberrant tissue signal intensity. Biomodulators can also condition tissue to enhance uptake of otherwise nonspecific imaging agents. When linked to drugs, biomodulators can target the same to particular sites in the body. Biomodulators can also be administered together with an agent (e.g. a drug or specific or nonspecific imaging agent) structurally modified to take advantage of perturbations of cell oligosaccharide displays caused by biomodulators to enhance images of a host, preferably by increasing aberrant tissue signal intensity. Biomodulators condition tissue to enhance or otherwise modify uptake of the drug or structurally modified agent. NMR imaging was performed in rats with implanted canine glioma tumors and having, at 7 days post-implantation, administration of pokeweed mitogen (PWM). The PWM lowered the T1 relaxation time of the treated tissue image, thereby enhancing image contrast. PWM and Ukrain enhanced tumor: muscle ratios of radioisotope uptake at 1.5 h but not at 4 or 24 h in tumor imaging expts. with 99mTc-labeled tumor necrosis factor-.alpha.. In tumor-bearing rats administered a galactosamine-Gd-DTPA imaging agent and treated with PWM for 10 days prior to imaging, there was a biomodulator-dependent enhancement of interaction of the specific agent with the tumor

IT 14196-84-0D, conjugates with DTPA or other compds., complexes with
metals 14196-86-2D, conjugates with DTPA or other compds.,
complexes with metals 14307-02-9D, D-Mannosamine, conjugates
with DTPA or other compds., complexes with metals
RL: BIOL (Biological study)

(tissue imaging with, biomodulator enhancement of)

RN 14196-84-0 HCAPLUS

CN .alpha.-D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

RN 14196-86-2 HCAPLUS

CN .beta.-D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 14307-02-9 HCAPLUS

CN D-Mannose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 9 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1990:631931 HCAPLUS

DN 113:231931

TI Preparation of 2- and 4-deoxy sugar nitrosourea derivatives as antitumor agents

IN Roger, Pierre; Choay, Patrick; Monneret, Claude; Fournier, Jean Paul;
 Martin, Alain

PA SANOFI, Fr.

SO U.S., 47 pp. Cont.-in-part of U.S. Ser. No. 732,007, abandoned. CODEN: USXXAM

DT Patent

LA English

FAN. CNT 4

FAIN.	PATENT NO.	KIND DATE		APPLICATION NO.	DATE	
PI	US 4902791	<del>-</del> А	19900220	US 88-181760	19880414 <	
	FR 2551068	A1	19850301	FR 83-13878	19830830 <	

...

Title sugars I [R = H, alkyl, (substituted) aralkyl; X = OH, NR1R2; Y = H, AΒ OH, NR3R4; R1 and/or R3 = H, CO(NO)CH2CH2R5; R5 = halo, esp. C1; R2 and/or R4 = H, alkyl, cycloalkyl, (substituted) aryl, aralkyl; R', R'' = H, OM; M = alkyl, acyl, (substituted) aryl, aralkyl, aroyl: either but not both of R' and R'' = H; at least X or Y = NR2CON(NO)CH2CH2R5] were prepd. and tested. For example, 3-azido-3-deoxy-D-glucopyranose was refluxed with HCl-MeOH and the product treated with (Bu3Sn)20 and then BzCl to give .alpha.- and .beta.-anomers of Me 3-azido-6-0-benzoyl-3-deoxy-D-glucopyranoside. Chlorination of the latter with SO2Cl2 in pyridine followed by redn. with AIBN and Bu3SnH, deprotection with NaOMe in MeOH, and acylation with ClCH2CH2NCO followed by N-nitrosation with NaNO2/AcOH, gave Me [(chloroethyl)nitrosoureido] dideoxyglucopyranoside II. At 20 mg/kg i.v. in mice transplanted with melanoma B16, II (3-injections in 30 days) reduced tumor wt. to 8.8% of controls, vs. only 33.0% for BCNU. IT 2484-76-6P 16697-56-6P 54623-23-3P 79403-97-7P 85439-77-6P 98383-17-6P 98383-22-3P 98383-26-7P 98383-31-4P 116724-60-8P 119630-32-9P 120878-57-1P 120878-58-2P RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation (prepn. and reaction of, in prepn. of nitrosourea sugar antitumor agents)

RN 2484-76-6 HCAPLUS

CN .alpha.-D-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

.

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Absolute stereochemistry.

RN 16697-56-6 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy- (9CI) (CF INDEX NAME)

Absolute stereochemistry.

RN 54623-23-3 HCAPLUS

CN .alpha.-L-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 79403-97-7 HCAPLUS

CN .beta.-D-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

RN 85439-77-6 HCAPLUS

CN .beta.-L-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CF INDEX NAME)

Absolute stereochemistry.

RN 98383-17-6 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy-, hydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

● HCl

RN 98383-22-3 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy-4-0-methyl-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 98383-26-7 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 3,6-diamino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 98383-31-4 HCAPLUS

CN .alpha.-D-arabino-Hexopyranoside, methyl 6-amino-2,6-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 116724-60-8 HCAPLUS

CN .beta.-D-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 119630-32-9 HCAPLUS

CN .beta.-L-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

RN 120878-57-1 HCAPLUS

CN .alpha.-D-xylo-Hexopyranoside, methyl 3-amino-3,4-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 120878-58-2 HCAPLUS

CN .beta.-D-xylo-Hexopyranoside, methyl 3-amino-3,4-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 51970-27-5 67693-33-8 116836-60-3

RL: RCT (Reactant)

(reaction of, in prepn. of nitrosourea sugar  ${\tt antitumor}$ 

agents)

RN 51970-27-5 HCAPLUS

CN .alpha.-L-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA

INDEX NAME)

67693-33-8 HCAPLUS RN

.alpha.-D-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) CN (CA INDEX NAME)

Absolute stereochemistry.

116836-60-3 HCAPLUS RN

.beta.-D-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA CN INDEX NAME)

Absolute stereochemistry.

ANSWER 10 OF 29 HCAPLUS COPYRIGHT 1999 ACS

ΑN 1989:134877 HCAPLUS

DN 110:134877

Preparation of phenyl N-nitrosocarbamates as intermediates in the ΤI synthesis of antitumor nitrosourea-sugars

Roger, Pierre; Fournier, Jean Paul; Leroy, Rolande IN

PA SANOFI, Fr.

Eur. Pat. Appl., 19 pp. SO

CODEN: EPXXDW

DTPatent

French LΑ

FAN.	CNT 4			'
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	EP 290313	A1 19881109	EP 88-400999	19880422 <
	EP 290313	B1 19920304		
	R: AT, BE,	CH, DE, ES, FR, G	B, GR, IT, LI, LU, NL	, SE
	FR 2614300	A1 19881028	FR 87-5708	19870422 <
	JP 63280054	A2 19881117	JP 88-100007	19880422 <
	US 4883903	A 19891128	US 88-184915	19880422 <
	AT 73129	E 19920315	AT 88-400999	19880422 <
	ES 2031252	т3 19921201	ES 88-400999	19880422 <
PRAI	FR 87-5708	19870422 <		
	EP 88-400999	19880422 <		

OS MARPAT 110:134877

GΙ

AB The title compds. I (n = 2-5; R = Cl, Br, F), useful as intermediates for antitumor nitrosoureas, were prepd. Reaction of 2,4,5-trichlorophenyl chloroformate with ClCH2CH2NH2.HCl in the presence of Et3N, followed by treatment with HO3SON:O in AcOH gave I (Rn = 2,4,5-trichloro).

IT 2484-76-6P 79403-97-7P 85439-77-6P 116724-60-8P 119630-32-9P

Ι

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, in prepn. of antitumor agent)

RN 2484-76-6 HCAPLUS

CN .alpha.-D-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 79403-97-7 HCAPLUS

CN .beta.-D-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 85439-77-6 HCAPLUS

CN .beta.-L-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

RN 116724-60-8 HCAPLUS

CN .beta.-D-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 119630-32-9 HCAPLUS

CN .beta.-L-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

IT 51970-27-5 116836-60-3

RL: RCT (Reactant)

(reaction of, in prepn. of antitumor agent)

RN 51970-27-5 HCAPLUS

CN .alpha.-L-xylo-Hexopyranoside, methyl 3-amino-3,4,6-trideoxy- (9CI) (CF INDEX NAME)

RN 116836-60-3 HCAPLUS

CN .beta.-D-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 11 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1989:73773 HCAPLUS

DN 110:73773

TI Glycosylated polyethylene glycol derivatives for glycosylation of proteins

IN Minami, Isao; Ueno, Hayao; Fujino, Masahiko

PA Takeda Chemical Industries, Ltd., Japan

SO Eur. Pat. Appl., 16 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

1741.	CIVI			
	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	EP 251304	A2 19880107	EP 87-109425	19870630 <
	EP 251304	A3 19900110		
	R: AT, BE,	CH, DE, ES, FR, GE	B, GR, IT, LI, LU, NL	, SE
	JP 63152393	A2 19880624	JP 87-161898	19870629 <
	CA 1303030	A1 19920609	CA 87-541108	19870702 <
	US 5037969	A 19910806	US 90-532179	19900604 <
PRAI	JP 86-156698	19860703 <		
	US 87-68915	19870702 <		

The glycosylated polyethylene glycol derivs.

RO(CH2CH2O)m(CH2)nZ (I; Z = CHO, CH2OH, CO2H; m = optional pos. integer; n = 1-3; R = glycosyl), which are useful as chem.-modifying agents for proteins and protein-fractioning agents, are prepd. Polyethylene glycol mono-tetrahydropyranyl ether was glycosylated with acetobromogalactose and deprotected to give 2,3,4,6-tetra-O-acetyl-.beta.-D-galactopyranosylpolyethylene glycol, which was oxidized using oxalyl chloride-Me2SO-Et3N, and deprotected by alk. hydrolysis to give .beta.-D-galactopyranosylpolyethylene glycol aldehyde (II).

2

II reacted with recombinant interferon-.alpha. (IFN-.alpha.) in the presence of Na cyanoborohydride to give <code>glycosylated</code> IFN-.alpha. (III), in which 6.9 of the 11 Lys residues had been modified; the activity was 0.83 .times. 106 IU/mg. III was selectively adsorbed on a WGA-agarose column, while unmodified IFN-.alpha. and polyethylene <code>glycol</code> -modified IFN-.alpha. passed through the column; the degree of adsorption increased with increasing modification.

IT 90-76-6DP, polyethylene glycol-bound 90-77-7DP

, polyethylene glycol-bound

RL: PREP (Preparation)

(prepn. of, for protein glycosylation)

RN 90-76-6 HCAPLUS

CN D-Galactopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 90-77-7 HCAPLUS

CN D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 12 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1987:50470 HCAPLUS

DN 106:50470

TI Platinum complexes

IN Bitha, Panayota; Child, Ralph Grassing; Hlavka, Joseph John; Lin, Yang I

PA American Cyanamid Co., USA

SO Eur. Pat. Appl., 51 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN CNT 2

PAN.	CNT Z				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
ΡI	EP 186085	A2	19860702	EP 85-116010	19851216 <
	EP 186085	A3	19880420		
		~	an	T T 117 AH	

R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE

US 4587331 19860506 US 84-682883 19841217 <--Α US 4703115 19871027 US 84-682884 19841217 <--Α PRAI US 84-682883 19841217 <---US 84-682884 19841217 <--GΙ

I R5(CHOH)nR6@A II

The title compds. I and II (R1 = H, C1-3 alkyl, hydroxymethyl, aminomethyl; R2-4 = OH, NH2:R5 = amino, imino, or acyl-substituted monovalent hydrocarbyl; R6 = Me, HOCH2, H2NCH2; A = coordinated Pt), useful as anticancer agents, are prepd. Thus, 1.0 g D-glucosamine.HCl in H2O was treated with 1.92 g K2PtCl4 to give 1 g I (R1 = HOCH2, R2 = R4 = OH, R3 = NH2, A = PtCl2). The title compds. show comparable anticancer effectiveness to Cisplatin, although at higher dosages.

TT 7695-34-3, 2,3-Diamino-2,3-dideoxy-.alpha.-D-glucose
 dihydrochloride 84056-78-0, 2,6-Diamino-2,6-dideoxy-D glucose dihydrochloride 103172-84-5, 2-Amino-2-deoxy-D glucopyranosylamine

RL: RCT (Reactant)

(reaction of, with potassium tetrachloroplatinate, platinum complex from)

RN 7695-34-3 HCAPLUS

CN .alpha.-D-Glucopyranose, 2,3-diamino-2,3-dideoxy-, dihydrochloride (9CI) (CA INDEX NAME)

Absolute stereochemistry.

#### •2 HCl

RN 84056-78-0 HCAPLUS
CN .alpha.-D-Glucopyranose, 2,6-diamino-2,6-dideoxy-, dihydrochloride (9CI)
(CA INDEX NAME)

## ●2 HCl

RN 103172-84-5 HCAPLUS

CN D-Glucopyranosylamine, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 13 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1986:443266 HCAPLUS

DN 105:43266

TI Platinum complexes of polyhydroxylated alkylamines and 2-polyhydroxylated alkyl-1,2-diaminoethanes

IN Hlavka, Joseph J.; Child, Ralph G.; Bitha, Panayota; Lin, Yang I.

PA American Cyanamid Co., USA

SO U.S., 12 pp. CODEN: USXXAM

DT Patent

LA English FAN.CNT 2

PATENT NO. APPLICATION NO. DATE KIND DATE \_\_\_\_\_ 19860506 US 84-682883 19841217 <--ΡI US 4587331 Α ZA 85-9582 19851213 <--ZA 8509582 19860827 Α DK 8505821 19860618 DK 85-5821 19851216 <--Α FI 85-4971 19851216 <--FI 8504971 Α 19860618 NO 85-5043 19851216 <--NO 8505043 19860618 Α EP 186085 19860702 EP 85-116010 19851216 <--A2 EP 186085 A3 19880420 R: AT, BE, CH, DE, FR, GB, IT, LI, NL, SE 19860717 AU 85-51248 19851216 <--AU 8551248 A1 19880728 AU 575454 В2 19860802 JP 85-281236 19851216 <--JP 61171495 A2 ES 549986 Α1 19861201 ES 85-549986 19851216 <--HU 43081 A2 19870928 HU 85-4825 19851217 <--

19841217 PRAI US 84-682883 <--US 84-682884 19841217

AB Complexes I (R1 = H, alkyl, CH2OH, CH2NH2; R2, R3, and R4 are OH, NH2, and at least one of R2, R3, and R4 is OH; n = 0, 2; L1 and L2 are halide, NO3, sulfate, or L1L2 = oxalato, malonato, etc.) were prepd., and they exhibited anti-tumor activity. D-Glucosamine hydrochloride was treated with NaOMe and K tetrachloroplatinate to give 2-amino-2-deoxy-.beta.-D-glucopyranose 1:1 compd. with Pt chloride.

Ι

7687-95-8DP, platinum complexes 14257-69-3DP, platinum . IT complexes 59433-00-ODP, platinum complexes 103172-84-5DP , platinum complexes RL: BAC (Biological activity or effector, except adverse); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation) (prepn. of, as neoplasm inhibitor)

RN 7687-95-8 HCAPLUS

.alpha.-D-Glucopyranose, 2,3-diamino-2,3-dideoxy- (9CI) (CA INDEX NAME) CN

Absolute stereochemistry.

RN 14257-69-3 HCAPLUS

CN .beta.-D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

RN 59433-00-0 HCAPLUS

CN .alpha.-D-Glucopyranose, 2,6-diamino-2,6-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 103172-84-5 HCAPLUS

CN D-Glucopyranosylamine, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 14 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1986:207616 HCAPLUS

DN 104:207616

TI 2,3-Diamino-2,3-dideoxyhexose derivatives and their use

IN Macher, Ingolf; Unger, Frank Michael

PA Sandoz A.-G., Switz.

SO PCT Int. Appl., 37 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

	PA	TENT NO.		KI	4D	DATE	•		API	PLICATION NO.	DATE	
PI	WO	8504881				1985			WO	85-EP171	19850417	<
		W: AU, RW: AT,	•	•	,	•	•		LU. N	NL. SE		
	DE	3415102	,			1985		,		84-3415102	19840421	<
	DE	3415100		A.	1	1985	1205		DE	84-3415100	19840421	<
	ΑU	8542380		A:	1	1985	1115		AU	85-42380	19850417	<
	ΑU	580061		B	2	1988	1222					
	JР	61501919		T	2	1986	0904		JP	85-501994	19850417	<
	HU	42099		A2	2	1987	0629		HU	85-2162	19850417	<
	HU	197584		В		1989	0428					
	AT	54920		E		1990	0815		ΑT	85-902023	19850417	<
	ZA	8502968		Α		1986	1126		ZA	85-2968	19850419	<

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US 85-815097
                                                                19851218 <--
                              19871006
     US 4698331
                        Α
                                              DK 85-6005
                                                                19851220 <--
     DK 8506005
                        Α
                              19851220
                                              FI 85-5132
                                                                19851220 <--
     FI 8505132
                        Α
                              19851220
     FI 81807
                        В
                              19900831
     FI 81807
                        С
                              19901210
PRAI DE 84-3415100
                       19840421
                                  <--
     DE 84-3415102
                       19840421
                                  <--
     EP 85-902023
                       19850417
                                  <--
     WO 85-EP171
                       19850417
                                  <--
GΙ
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The title compds. [I; R1 = H, alkyl, aralkyl, P ester group; R2, R3 = (un)substituted acyl; R4 = H, P ester group; R5 = H, glycosyl]

were prepd. Thus, 2,3-diamino-2,3-dideoxy-D-glucose (II, R2-R5 = H) was N-acylated with (3R)-(benzyloxy)tetradecanoyl chloride to give II [R2 = R3 = (3R)-(benzyloxy)tetradecanoyl, R4 = R5 = H]. This was treated with CH2:CMeOMe in DMF in the presence of 4-MeC6H4SO3H to give II (R2, R3 as given, R4R5 = Me2C) which was esterified with (PhCH2O)2 P(O)Cl to give the .alpha.-D-glucopyranosyl phosphate III [R2 = R3 = (3R)-(benzyloxy)tetradecanoyl, R4R5 = Me2CH, R6 = PhCH2] which was hydrogenated over Pd/C and subjected to acid hydrolysis to give III [R2 = R3 = (3R)-(hydroxytetradecanoyl, R4-R6 = H]. I are immunostimulants demonstrating lymphocyte and/or macrophage proliferation effects in std. tests both in vivo and in vitro. I are addnl. suitable for prophylaxis of endotoxin shock.

### IT 101648-98-0

RL: RCT (Reactant) (N-acylation of)

RN 101648-98-0 HCAPLUS

CN .beta.-D-Glucopyranoside, methyl 2,3-diamino-2,3-dideoxy- (9CI) (CA INDEX NAME)

L56 ANSWER 15 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1985:427304 HCAPLUS

DN 103:27304

TI Compositions for use in preventing and treating obesity

IN Hinohara, Yoshikazu; Kaifu, Rokuro; Matsunaga, Isao

PA Chugai Pharmaceutical Co., Ltd., Japan

SO Eur. Pat. Appl., 14 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

		-												
	PAT	TENT I	. OV		KIN	ID	DATE	;		API	PLICATION	ON NO.	DATE	
						-								
PI	ΕP	1375	14		A2	2	1985	0417		EP	84-1123	314	19841012	<
	ΕP	1375	14		A3	}	1985	0612						
	ΕP	1375	14		В1		1988	0921						
		R:	BE,	CH,	DE,	FR,	GB,	IT,	LI,	NL, S	SE			
	JΡ	6008	1127		A2	:	1985	0509		JP	83-192	015	19831013	<
	JP	0305	4644		В4	l	1991	0820						
	US	46969	919		Α		1987	0929		US	84-660	421	19841010	<
PRAI	JΡ	83-19	92015	<u> </u>	198	310	13	<						
GI .														

AB I (R1, R2, R3, and R4 = H or Ac) or their acid addn. salts are useful for decreasing appetite and treatment of obesity. The compds. may be administered orally or parenterally. Thus, granules contained a mixt. of 1-deoxyglucosamine [32449-61-9] 50, lactose 9500, hydroxypropyl cellulose 400 and starch 50 g.

IT 32449-61-9 97101-24-1

RL: BIOL (Biological study)

(pharmaceuticals, for obesity control)

RN 32449-61-9 HCAPLUS

CN D-Glucitol, 2-amino-1,5-anhydro-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

RN 97101-24-1 HCAPLUS

D-Glucitol, 2-amino-1,5-anhydro-2-deoxy-, hydrochloride (9CI) (CA INDEX CNNAME)

Absolute stereochemistry. Rotation (+).

## HC1

ANSWER 16 OF 29 HCAPLUS COPYRIGHT 1999 ACS L56

AN 1985:167122 HCAPLUS

DN 102:167122

2,6-Dideoxy-3-amino-4-carboxy methyl glycoside and related ΤI compounds

IN Durette, Philippe L.

PA Merck and Co., Inc. , USA

U.S., 6 pp. Cont. of U.S. Ser. No. 248,174, abandoned. SO

CODEN: USXXAM

DT Patent

English LA

FAN.	CNT 1				
	PATENT NO.	KIND	DATE	. APPLICATION NO.	DATE
PI	US 4491659	Α	19850101	US 83-498447	19830526 <
	US 81-248174	19810	330 <		
GI					

AB Aminocarboxytetradeoxyhexonolactone (I), useful as intermediate in the total synthesis of thienamycin, was prepd. from Me azidocyanotetradeoxyhexopyranoside (II) by sequential methanolysis, catalytic hydrogenation, acid hydrolysis, and oxidn. with Br.

IT 95976-90-2P

RN 95976-90-2 HCAPLUS

CN 2H-Pyran-3-carboxylic acid, 4-aminotetrahydro-6-methoxy-2-methyl-, methyl ester, [2R-(2.alpha.,3.beta.,4.alpha.,6.beta.)]- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

L56 ANSWER 17 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1984:511345 HCAPLUS

DN 101:111345

TI Anthracycline glycosides

IN Broadhurst, Michael John; Hassall, Cedric Herbert; Thomas, Gareth John

PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 109 pp.

CODEN: EPXXDW

DT Patent

LA German

LA German FAN.CNT 1											
	PATENT NO.		KIND DATE			PLICATION NO.	DATE				
	PI		104654			19840404			83-109677	19830928	<
			104654			19840815					
			104654		B1	19871021					
									LU, NL, SE		
			1248944		A1				83-436376		
									83-4240		
			8307030			19840530			83-7030	19830921	
			69778		A1	19870227			83-69778	19830921	
		IL	77696		A1	19870227			83-77696		
		ΑU	8319543		A1	19840405		AU	83-19543	19830926	<
		ΑU	560419		В2	19870409					
			35271			19850628		HU	83-3320	19830926	<
		HU	195517		В	19880530					
		US	4526960		Α	19850702		US	83-535968	19830926	<
		FI	8303480		Α	19840329		FI	83-3480	19830927	<
		FI	74022		В	19870831					
		FI	74022		С	19871210					
		ИО	8303491		Α	19840329		NO	83-3491	19830927	<
		ИО	157934		В	19880307					
		ИО	157934		C	19880615					
		JP	59080692		A2	19840510		JP	83-179110	19830927	<
		ES	525989		A1	19850301		ES	83-525989	19830927	<
		ΑT	30325		E	19871115		AΤ	83-109677	19830928	<

3

19850901 19840516 <--ES 532514 Α1 ES 84-532514 PRAI GB 82-27686 19820928 <--GB 83-19251 19830715 <--IL 83-69778 19830921 <--19830928 EP 83-109677 <--GΙ

AB Anthracycline glycosides I [X = CH2, CHMe, CH2CH2; R = H, alkyl, aryl, aralkyl, heterocyclyl, (CH2)nCOR3; R1, R2 = H, OH, alkoxy, OCH2Ph; R3 = OH, (un)substituted NH2, alkoxy; n = 1-4] and some alkylenebis(carbamates) were prepd. Thus, I (X = CH2, R = Ph, R1 = OH, R2 = H) was prepd. by treating naphthacene II (R4 = CONHPh) with the protected lyxo-hexopyranosyl chloride and deblocking. II (R4 = CONHPh) was prepd. from II (R4 = Ac) by deacetylation, reaction with PhB(OH)2, followed by PhNCO, and hydrolysis of the boronate. At 0.5 .mu.g/kg i.p. in mice infected with lymphocytic leukemia I (X = CH2, R = Ph, R1 = OH, R2 = H) doubled the survival time.

IT 91577-03-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and trifluoroacetylation of)

RN 91577-03-6 HCAPLUS

CN .beta.-L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy-4-O-ethyl-(9CI) (CA INDEX NAME)

L56 ANSWER 18 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1983:107686 HCAPLUS

DN 98:107686

TI Nitrosourea derivative and therapeutic composition containing this derivative

IN Morikawa, Tamio; Tsujihara, Kenji; Takeda, Mikio; Arai, Yoshihisa

PA Tanabe Seiyaku Co., Ltd. , Japan

SO Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

L MIA	. CNI I				
	PATENT NO.	KIND DA	ATE	APPLICATION NO.	DATE
PI	EP 62329	A1 19	9821013	EP 82-102831	19820402 <
	EP 62329	B1 19	9850220		
	R: BE, CH,	DE, FR, G	GB, IT, NL		
	JP 57165398	A2 ` 19	9821012	JP 81-50393	19810402 <
	JP 63010958	В4 19	9880310		
	US 4472573	A 19	9840918	US 82-358818	19820316 <
	ES 511077	A1 19	9830501	ES 82-511077	19820401 <
	AT 8201287	A 19	9860215	AT 82-1287	19820401 <
	AT 381318	B 19	9860925		
PRA:	I JP 81-50393	19810402	2 <		
GI					

AB Nitrosoureas (I; R = NO; R1 = alkyl; R2 = alkyl, alkoxyalkyl) were prepd. by nitrosation of I (R = H). Thus, Me 2-amino-2-deoxy-.alpha.-D-glucopyranoside was sequentially treated with PrCHO, NaBH4, and ClCH2CH2NCO to give .alpha.-I (R = H, R1 = Me, R2 = Bu), which was nitrosated to .alpha.-I (R = NO), which at 6.25/mg/kg/day (i.p.) in mice showed 100% inhibition of Ehrlich ascites carcinoma vs. 33.3% inhibition by 1-(2-chloroethyl)-1-nitroso-3-cyclohexylurea.

IT 4704-14-7

RL: RCT (Reactant)

(reaction of, with butyraldehyde)

RN 4704-14-7 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 19 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1983:54398 HCAPLUS

DN 98:54398

TI Nitrosourea derivatives

IN Suami, Tetsuo

PA Japan

SO Fr. Demande, 66 pp.

CODEN: FRXXBL

DT Patent

LA French

FAN. CNT 1

FAN.	CNT 1	KIND			
	PATENT NO.		DATE	APPLICATION NO.	DATE
ΡI	FR 2493318	A1	19820507	FR 81-20407	19811030 <
	FR 2493318	B1	19860516		
	JP 57075993	A2	19820512	JP 80-151500	19801030 <
	US 4472379	A	19840918	US 81-313597	19811021 <
	GB 2087876	A	19820603	GB 81-32625	19811029 <
	GB 2087876	B2	19840627		
PRAI	JP 80-151500	19801	.030 <		
GI					

$$R^{3}$$
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{2}$ 
 $R^{1}$ 
 $R^{2}$ 
 $R^{2$ 

AB Nitrosoureas I [R = (NHCOX)nNHCON(NO)CH2CH2Cl, R1-R4 = OH; R = OH, alkoxy, 1 of R1-R4 = (NHCOX)nNHCON(NO)CH2CH2Cl, the rest are OH; X = amino acid residue, C1-3 alkylene; n = 1-3] were prepd. Thus, C1CH2CH2NH2 was treated with 4-O2NC6H4O2CCl to give 4-O2NC6H4O2CNHCH2CH2Cl which was N-nitrosated to give 4-O2NC6H4O2CN(NO)CH2CH2Cl (II). Me .alpha.-D-glucosaminide was treated with N-benzyloxycarbanylglycine N-hydroxysuccinimide ester, deblocked, and treated with II to give III. At 32 mg/kg/day for 3 days i.p. in Leukemia L1210-infected mice III increased the survival time by >457%.

IT 4704-14-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and reaction of, with amino acid reactive esters)

RN 4704-14-7 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 20 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1982:52633 HCAPLUS

DN 96:52633

TI N-Benzoyl-L-ristosamine and intermediates

IN Whistler, Roy Lester

PA Purdue Research Foundation, USA

SO Belg., 24 pp.

CODEN: BEXXAL

DT Patent

LA French

FAN.CNT 1

212110111 2											
		PATENT NO.		KIND	DATE		API	PLICATION NO.	DATE		
	PI	BE	887820	A1	198	10701	BE	81-204028	19810306	<	
		US	4298726	Α	198	11103	US	80-128298	19800307	<	
		FR	2477553	A1	198	10911	FR	81-3405	19810220	<	
		FR	2477553	В1	198	30506					
		GB	2072169	A	198	10930	GB	81-7110	19810306	<	
		GB	2072169	В2	198	40229					
		NL	8101094	A	198	11001	ΝL	81-1094	19810306	<	
		JP	56139475	A2	198	11030	JP	81-31377	19810306	<	
		DE	3108540	A1	198	20318	DE	81-3108540	19810306	<	
	PRAI	US	80-128298	198003	307	<					
	GI										

- Oxohexenitol I, obtained by the oxidn. of L-rhamnal or 6-deoxy-L-allal, on sequential acetylation, methoxymercuration, and oximation gave II (R = HgCl, R1 = H), which on demercuration followed by acetylation gave II (R = H, R1 = Ac). The latter on redn. with LiAlH4 gave Me L-ristosaminide (III). III on N-benzoylation followed by acid hydrolysis gave N-benzoyl-L-ristosamine.
- IT 80483-25-6P
  RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation)

(prepn. and benzoylation of)

RN 80483-25-6 HCAPLUS

CN L-ribo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 21 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1982:52632 HCAPLUS

DN 96:52632

TI Daunosamine hydrochloride and intermediate products used in its synthesis

IN Whistler, Roy Lester

PA Purdue Research Foundation, USA

SO Belg., 28 pp.

CODEN: BEXXAL

DT Patent

LA French

FAN.CNT 1

PAN.CNT I						
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE		
PI BE 887819	A1	19810701	BE 81-204027	19810306 <		
US 4301276	Α	19811117	US 80-128299	19800307 <		
FR 2477552	A1	19810911	FR 81-3404	19810220 <		
FR 2477552	В1	19830527				
GB 2071658	A	19810923	GB 81-7109	19810306 <		
GB 2071658	B2	19840229				
NL 8101095	A	19811001	NL 81-1095	19810306 <		
JP 561394 <b>7</b> 6	A2	19811030	JP 81-31376	19810306 <		
DE 3108539	A1	19811224	DE 81-3108539	19810306 <		
PRAI US 80-128299	19800	)307 < ·				
GI						

AB Oxidn. of L-fucal or 6-deoxy-L-idal gave oxohexenitol I, which on sequential acetylation, methoxymercuration, and oximation gave II (R = HgCl, Rl = H). The latter on demercuration followed by acetylation gave II (R = H, Rl = Ac), which on redn. with LiAlH4 gave Me L-daunosaminide (III). III on heating with HCl gave L-daunosamine hydrochloride.

IT 80483-20-1P

RL: SPN (Synthetic preparation); PREP (Preparation) (prepn. of, from fucal or deoxyidal)

RN 80483-20-1 HCAPLUS

CN L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 22 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1981:514886 HCAPLUS

DN 95:114886

TI 3-Haloethyl- or propyl-2,2-dimethylcyclopropane carboxylic acid esters as intermediates for synthetic pyrethroids

IN . Crosby, John; Holland, David; Laidler, Dale Andrew; Milner, David John

PA Imperial Chemical Industries Ltd., Engl.

SO Eur. Pat. Appl., 68 pp.

CODEN: EPXXDW

DT Patent

LA English

FAN.CNT 1

PATENT NO. KIND DATE APPLICATION NO. DA	DATE
PI EP 22608 A1 19810121 EP 80-301527 19	19800509 <
EP 22608 B1 19830706	
R: CH, DE, FR, GB, IT, NL	
AU 8058636 A1 19810115 AU 80-58636 19	19800521 <
AU 538321 B2 19840809	
US 4288387 A 19810908 US 80-156077 19	19800602 <
JP 56015244 A2 19810214 JP 80-94060 19	19800711 <
JP 63054699 B4 19881028	
PRAI GB 79-24521 19790713 <	
GI	

$$\begin{array}{c|c} \text{Me Me} \\ \\ \text{RCR}^1\text{R}^2\text{CH}_2 \\ \end{array} \quad \text{CO}_2\text{R}^3 \quad \text{I}$$

The cycloaddn. reaction of RCR1R2CH2CH:CME2 [R, R1 = F, Cl, Br, alkyl, polyhaloalkyl; R2 = F, Cl, Br] with N2CHCO2R3 [R3 = alkyl, 3-PhOC6H4CH2, 3-PhOC6H4CH(CN), 3-PhOC6H4CH(C.tplbond.CH)] catalyzed by Cu, Cu(II) salts, carboxylic and Rh(II) salts, and chiral Schiff base Cu and transition

metal complexes gave the resp. cyclopropanecarboxylates I. Thus, CF3CCl2CH2CH: CMe2 was treated with N2CHCO2Et and (Me3CCO2)2Rh at 20.degree. to give I (R = CF3, R1 = R2 = Cl, R3 = Et). Most of the chiral Schiff base complexes were prepd. from aminodeoxymonosaccharides

## IT 3867-92-3 4704-14-7

RL: RCT (Reactant)

(condensation reaction of, with salicylaldehyde, hydroxynaphthaldehyde and pyridinecarboxaldehyde)

RN 3867-92-3 HCAPLUS

CN .beta.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 4704-14-7 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 23 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1981:498227 HCAPLUS

DN 95:98227

TI Heterocycles that contain oxygen and their use in the preparation of antibiotics

IN Uskokovic, Milan Radoje; Wovkulich, Peter Michael

PA Hoffmann-La Roche, F., und Co. A.-G., Switz.

SO Eur. Pat. Appl., 23 pp.

CODEN: EPXXDW

DT Patent

LA German

FAN.CNT 1

EAIN.	CIVI				
	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	EP 23663	A2	19810211	EP 80-104299	19800722 <
	EP 23663	<b>A3</b>	19810422		
	EP 23663	B1	19840516		
	R: AT, BE,	CH, DE	FR, GB, IT,	NL	
	US 4252964	Α	19810224	US 79-60261	19790725 <

	ΑT	7500	E	1984	40615	AT	80-104299	19800722	<
	JP	56020584	A2	1983	10226	JP	80-99989	19800723	<
	JP	01040839	В4	1989	90831				
	US	4324726	A	1982	20413	US	80-179126	19800818	<
	US	4376207	A	1983	30308	US	81-326731	19811202	<
	US	4414402	A	1983	31108	US	82-423924	19820927	<
	US	4415742	A	1983	31115	US	82-423927	19820927	<
PRAI	US	79-60261	197907	725	<				
	ĘΡ	80-104299	198007	122	<				
	US	80-179126	198008	318	<				
	US	81-326731	198112	202	<				
GI									

The amino sugars I (R = alkyl; R1 = H, alkyl, aralkyl) were prepd. Thus, trans-MeCH:CHOAc was treated with Me3COCH(NMe2)2 to give Me2NCH:CHCO2CH:CHMe-trans which was treated with (S)-(-)-PhCHMeNHOH to give the isoxazolone II. Redn. of II gave III (R2 = H) which was treated with ClCO2Me to give III (R2 = CO2Me). (Me2CHCH2)2AlH2Na redn. of III (R2 = CO2Me) gave I (R = Me, R1 = CHMePh) together with some furanol. I (R = Me, R1 = CHMePh) was deblocked with Na-NH3 to give I (R = Me, R1 = H) which was decarboxylated to give Me L-acosaminide, or was isomerized in 3-position and then decarboxylated to give Me L-daunosaminide.

IT 18977-92-9P 54623-23-3P

RL: SPN (Synthetic preparation); PREP (Preparation)
 (prepn. of)

RN 18977-92-9 HCAPLUS

CN .alpha.-L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

,

RN 54623-23-3 HCAPLUS

CN .alpha.-L-arabino-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 24 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1981:497173 HCAPLUS

DN 95:97173

TI Chiral amino monosaccharide complexes

PA Imperial Chemical Industries Ltd., Engl.

SO Jpn. Kokai Tokkyo Koho, 16 pp.

CODEN: JKXXAF

DT Patent

LA Japanese

FAN.CNT 1

FAN.	PATENT NO.	KIND DATE	APPLICATION NO.	DATE
PI	JP 56016498	A2 19810217	JP 80-96149	19800714 <
	EP 24797 R: CH, DE,	A1 19810311 FR, GB, IT, NL	EP 80-302281	19800704 <
	AU 8060184	A1 19810115	AU 80-60184	19800708 <
	US 4350811	A 19820921	US 80-166838	19800708 <
PRAI GI	GB 79-24518	19790713 <		

.3

$$RO \sim R^2$$
 $RO \sim R^2$ 
 $CH = CCl_2$ 
 $Me$ 
 $CO_2Et$ 
 $N = CHR^3$  I Me III

AB Chiral Schiff bases I (R = H, R1 = H or RR1 = benzylidene, R2 = alkoxy, R3 = aryl) and their complexes with bis(salicylaldehydato)Cu(II)(II) or Cu(OAc)2 were prepd. Thus, a mixt. of 0.7 g Me 4,6-O-benzylidene-2-amino-2-deoxy-.alpha.-D-altropyranoside, 0.3 g salicylaldehyde, and 50 mL toluene was refluxed 2 h to give the corresponding Schiff base (no yield given), which (0.435 g) was treated with 0.153 g II in MeOH for 3 h to give a complex which was used for the cyclopropanation of Cl2C:CHCH:CMe2 with N2CHCO2Et to give 43% a stereoisomeric mixt. of the insecticidal chrysanthemates III contg. (1R)-cis- 25, (1S)-cis- 18, (1R)-trans- 32, and (1S)-trans-III 25%.

IT 3867-92-3

RL: RCT (Reactant)

(reaction of, with aldehydes)

RN 3867-92-3 HCAPLUS

CN .beta.-D-Glucopyranoside, methyl 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

L56 ANSWER 25 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1981:175446 HCAPLUS

DN 94:175446

TI Bis(4-demethoxydaunorubicin)dihydrazone derivatives and their pharmacologically useful salts

IN Apple, Martin Allen; Pappo, Raphael

PA USA

SO Ger. Offen., 43 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN. CNT 1

r Auv.	PATENT NO.		DATE	APPLICATION NO.	DATE	
ΡI	DE 3016974	A1	19801113	DE 80-3016974	19800502 <	
	US 4275192	Α	19810623	US 79-35657	19790503 <	

	FR	2455595	A1	19801128	FR	80-9856	19800430	<
	FR	2455595	В1	19830812				
	DK	8001942	A	19801104	DK	80-1942	19800501	<
	SE	8003317	A	19801104	SE	80-3317	19800502	<
	NL	8002577	A	19801105	NL	80-2577	19800502	<
	ΑU	8058039	A1	19801106	υA	80-58039	19800502	<
	ΑU	532925	В2	19831020				
	GB	2050364	A	19810107	GB	80-14862	19800502	<
	GB	2050364	B2	19830427				
	ES	491116	A1	19810416	ES	80-491116	19800502	<
	CA	1137471	A1	19821214	CA	80-351196	19800502	<
	BE	883106	A1	19801105	BE	80-462	19800505	<
	CH	643862	A	19840629	CH	80-3469	19800505	<
	JP	56008398	A2	19810128	JP	80-59852	19800506	<
	ES	497801	A1	19811116	ES	80-497801	19801216	<
PRAI	US	79-35657	19790	503 <				
GI								

AB Title hydrazones I (R, R1 = H, alkyl; X = optionally substituted alkylene) were prepd. Thus H2NCH(CH2CO2Me)2 was converted to its HCl salt and treated with N2H4 to give H2NCH(CH2CONHNH2)2.HCl which was treated with 4-demethoxydaunorubicin-HCl to give I.3HCl [R = R1 = H, X = CH2CH(NH2)CH2, (II)]. At 2.1 mg/kg i.p. II increased the survival time of leukemia P-388-infected mice to 175%.

Ι

IT 77398-21-1P

RN 77398-21-1 HCAPLUS

CN .beta.-L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy-, acetate (salt) (9CI) (CA INDEX NAME)

CM 1

CRN 77398-20-0 CMF C7 H15 N O3 CDES 5:B-L-LYXO

Absolute stereochemistry. Rotation (+).

CM 2

CRN 64-19-7 CMF C2 H4 O2

L56 ANSWER 26 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1979:136232 HCAPLUS

DN 90:136232

TI Antibiotic P-2563 using Pseudomonas fluorescens

IN Nara, Kiyoshi; Sumino, Yasuhiro; Asai, Mitsuko; Akiyama, Shunichi

PA Takeda Chemical Industries, Ltd., Japan

so U.S., 21 pp.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

FAIV.	PATENT NO.			APPLICATION NO.	DATE
PI	US 4108724	Α	19780822	US 76-674310	19760407 <
	GB 1549167	A	19790725	GB 75-15062	19760412 <

PRAI GB 75-15062 19750411 <--Antibiotic P-2563 [62046-53-1] is produced by fermn. with Pseudomonas fluorescens P-2563 (ATCC 31125) on a medium contg. assimilable N sources. Thus, a seed culture of P. fluorescens was prepd. by inoculation of a nutrient medium contg. glucose 2, yeast ext. 0.5, corn steep liquor 0.5, peptone 0.5, and CaCO3 0.5% with a stock culture and incubating at 28.degree. for 48 h. The seed culture thus obtained was inoculated into a fermn. medium contg. glucose 4, yeast ext. 0.5, corn steep liquor 0.5, soybean flour 0.5, cottonseed flour 0.5, NaCl 0.5, MgSO4 0.1, and CaCO3 0.5% with pH 6.8 and cultivation was carried out with sparging and agitation at 28.degree. for 72 h. The resulting broth was filtered and the filtrate chromatographed on Amberlite IRC-50 (NH4+-form). The eluate obtained by elution with N aq. NH3 was concd. and the conc. chromatographed on Amberlite CG-50 (NH4+-form). Fractionation of the eluate obtained by elution with 0.8 N aq. NH3 gave P-2563 (I) [60534-70-5], P-2563 (II) [60502-99-0], and P-2563 (III) [60502-98-9] which were further purified and characterized. The resp. m.p. and mol. formulas were: 105-15.degree. (decompn.) and C15H31N3O9, 148-52.degree. and C14H29N3O9, and 110-17.degree. and C12H27N3O8. Antibiotics P-2563 (I) and P-2563 (II) were active against both gram-pos. and gram-neg. bacteria.

IT 4097-95-4P

RL: PREP (Preparation); PRP (Properties)

(prepn. and properties of)

RN 4097-95-4 HCAPLUS

CN .alpha.-D-Glucopyranoside, methyl 4-amino-4-deoxy- (9CI) (CA INDEX NAME)

## Absolute stereochemistry.

L56 ANSWER 27 OF 29 HCAPLUS COPYRIGHT 1999 ACS

AN 1976:463310 HCAPLUS

DN 85:63310

TI Antitumor glycosides

IN Arcamone, Federico; Bargiotti, Alberto; Cassinelli, Guiseppe; Di Marco, Aurelio

PA Societa Farmaceutici Italia S.p.A., Italy

SO Ger. Offen., 20 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

FAN.			KIND	DATE	API	PLICATION NO.	DATE	
ΡI	DE	2548087		19760506	DE	75-2548087	19751028	<
	US	4025623	A	19770524	US	75-621582	19751010	<
	NL	7512489	A	19760504	NL	75-12489	19751024	<
	ΑT	7508129	A	19770415	ΑT	75-8129	19751024	<
	AT	340591	В	19771227				
	SE	7512005	A	19760430	SE	75-12005	19751027	<
	SE	423996	В	19820621				
	SE	423996	С	19820930				
	DK	7504821	A	19760430	DK	75-4821	19751027	<
	DK	146803	В	19840109				
	DK	146803	С	19840618				
	FR	2289203	A1	19760528	FR	75-32753	19751027	<
	FR	2289203	B1	19781110				
	ZA	7506732	A	19761027		75-6732	19751027	<
	AU	7586040	A1	19770505	ΑU	75-86040	19751027	<
	AU	498511	B2	19790315				
		646913	D	19790205		75-2184006	19751027	
	BE	834939	A1	19760428	BE	75-161309	19751028	<
		51068561	A2	19760614	JΡ	75-128991	19751028	<
	-	59051559	В4	19841214				
		442144	<b>A</b> 1	19770801		75-442144	19751028	
		1046509	A1	19790116		75-238713	19751028	
		618707	A	19800815		75-13950	19751028	
		628822	D	19781015		76-2387269	19760810	
		7609434	A	19770515	ΑT	76-9434	19761220	<
	ΑT	341096	В	19780125				

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	CH	621799	A	1981	10227	CH	80-946	19800206	<
	DK ·	8205523	A	1982	21213	DK	82-5523	19821213	<
	DK	146721	В	1983	31212				
	DK	146721	С	1984	10521				
	JP .	59104397	A2	1984	10616	JP	83-211116	19831111	<
	JP ·	60056720	B4	1985	51211				
PRAI	GB '	74-46644	197410	029	<				
	AT '	75-8129	197510	24	<				
	DK '	75-4821	197510	27	<				
	CH '	75-13950	197510	28	<				
GI									

Treatment of daunomycinone with 1,2,3-trideoxy-4,6-di-O-(p-nitrobenzoyl)-3-trifluoroacetamido-L-arabino-hex-1-enopyranose (I) followed by deacylation gave 4'-epi-6'-hydroxydaunomycin II (R = H) (III). Bromination of III followed by hydroxylation gave 4'-epi-6'-hydroxyadriamycin II (R = OH) (IV). III and IV had smaller neoplasm inhibiting activities than daunomycin and adriamycin, resp., against HeLa cells. I was prepd. from Me 3-azido-4,6-O-benzylidene-2,3-dideoxy-.alpha.-L-arabino-hexopyranoside.

II

IT 58976-12-8P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation) (prepn. and deglycosidation of)

RN 58976-12-8 HCAPLUS

CN .alpha.-L-arabino-Hexopyranoside, methyl 3-amino-2,3-dideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

AN 1976:180557 HCAPLUS

DN 84:180557

TI Streptozotocin analogs

IN Fujiwara, Allan N.; Acton, Edward M.; Henry, David W.

PA Stanford Research Institute, USA

SO U.S., 6 pp. CODEN: USXXAM

DT Patent

LA English

FAN. CNT 1

FAIN.	CMI I					
	PATENT NO.		DATE	APPLICATION NO.	DATE	
PI	US 3940383	A	19760224	US 74-531887	19741212 <	

Title compds. I [R1 = OH, R2 = NHCONMeNO, R3 = R4 = H (II); R1 = R2 = H, R3 = NHCONMeNO, R4 = Me], III (R1 = OH, R2 = R3 = H, R4 = NHCONMeNO; R1 = R4 = H, R2 = OH, R3 = NHCONMeNO) and IV were prepd. from the corresponding 3-amino deriv. by treatment with MeNCO followed by nitrosation. Thus, Me 3-amino-3-deoxy-.beta.-D-xylopyranoside reacted with MeNCO to give 85% I (R1 = OH, R2 = MeNHCONH, R3 = R4 = H) which then reacted with N2O3 to give 62% II. II, III, and IV exhibited activity against murine leukemia in mice in the 9 injection schedule i.p. but caused no toxic deaths at 200 mg/kg.

IT 18977-92-9

RL: RCT (Reactant)

(reaction of, with methyl isocyanate)

RN 18977-92-9 HCAPLUS

CN .alpha.-L-lyxo-Hexopyranoside, methyl 3-amino-2,3,6-trideoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

L56 ANSWER 29 OF 29 HCAPLUS COPYRIGHT 1999 ACS AN 1970:67229 HCAPLUS

DN 72:67229

TI Streptozotocin

IN Hessler, Edward J.

PA Upjohn Co.

SO Ger. Offen., 10 pp.

CODEN: GWXXBX

DT Patent

LA German

FAN.CNT 1

ETM.	C14 T	±							
	PATENT NO.		KIND	DATE		APE	PLICATION NO.	DATE	
ΡI	DE	1925230	A	19691	127	DE	69-1925230	19690517	<
	US	3577406	Α	19710	504	US	68-731615	19680523	<
	GB	1191808	A	19700	513	GB	69-1191808	19690429	<
	CH	518278	A	19720	131	CH	69-518278	19690502	<
	NL	6907323	A	19691	125	NL	69-7323	19690513	<
	FR	2068449	<b>A</b> 5	19710	827	FR	69-16725	19690522	<
	FR	2068449	В1	19740	201				
PRAI	US	68-731615	19680	523 <-					

The antibiotic streptozotocin (I) is synthesized by the reaction of D-glucosamine (II) with MeNCO to give N-(methylcarbamoyl)-D-glucosamine, (III), which can be treated without isolation with HNO2 or NaNO2 and H2SO4 to give I. Thus, 1.79 g II in 8 ml water and 4 ml Et2O was stirred at -5.degree. with 0.60 g freshly distd. MeNCO 0.5 hr to give a soln. of III, which was added dropwise at 0.degree. to 26.1 ml of an aq. HNO2 soln., contg. 18.1-18.3 mg HNO2/ml (prepd. by passing N2O3 into water at 0.degree.), and the mixt. stirred 0.5 hr at 0.degree. to give 1.46 g I. By nitrosation with NaNO2 and H2SO4 12.8% I was obtained. II was obtained from its HCl salt by stirring 200 g II.-HCl 20 hr with 140 ml Et2NH and 2 l. EtOH and filtration. The filter cake was again stirred 20 hr with 70 ml Et2NH and 1 l. EtOH to obtain 95% II.

IT 90-77-7P

RL: IMF (Industrial manufacture); PREP (Preparation)
 (manuf. of)

RN 90-77-7 HCAPLUS

CN D-Glucopyranose, 2-amino-2-deoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.